

was evaporated under high vacuum to give a pale orange syrup, which was partitioned between water (2 mL) and chloroform (10 mL). The organic phase was isolated, dried ( $\text{Na}_2\text{SO}_4$ ), and evaporated to afford **5b** contaminated with **5a** as an orange gummy foam (240 mg). The mixture was treated with (*S*)-(-)- $\alpha$ -methylbenzylamine (7 mL), and the stirred solution was heated at 75 °C for 20 h. Excess amine was removed by high vacuum distillation to afford an orange-red syrup consisting of the *S,S* isomer **4c** contaminated with the *R,S* compound **4d**. The sample was dissolved in toluene (5 mL) at room temperature, and within 10 min nearly pure **4c** had precipitated. The beige, powdery solid was dissolved in warm methylene chloride (0.5 mL) and diluted with toluene (5 mL). The clear, colorless needles that separated (110 mg) were composed of **4c** with no evidence of contamination by **4d** as determined by HPLC analysis (Partisil 5, 15% ethanol/hexanes). As expected, the enantiomeric pairs **4a** (*R,R*) and **4c** (*S,S*) were chromatographically and analytically identical except for  $[\alpha]_D$  values;  $[\alpha]_D^{21}$  for **4c**  $-56^\circ$  (*c* 0.392,  $\text{CHCl}_3/\text{MeOH}$ , 4:1), vs  $+56^\circ$  obtained for **4a**. Anal. (**4c**) ( $\text{C}_{23}\text{H}_{28}\text{N}_2\text{O}_6 \cdot \text{H}_2\text{O}$ ) C, H, N.

**5'(S)-1,5-Dioxo-5'-ethyl-5'-hydroxy-2'H,5'H,6'H-6'-oxopyrano[3',4'-f] $\Delta^{6,8}$ -tetrahydroindolizine (3b)**. A solution of **4c** (36 mg, 0.0841 mmol) was treated with glacial acetic acid (1 mL) at 70 °C as described for **4a**. The ketal **5b** resulted as a beige foam (26 mg), which crystallized as rosettes of short colorless needles from ethyl acetate. The *S* isomer **5b** was analytically identical with **5a** except for  $[\alpha]_D^{21}$ , which was determined to be  $+70^\circ$  (*c* 0.250,  $\text{CHCl}_3/\text{MeOH}$ , 4:1).

As described for **5a**, the ketal functionality in **5b** was hydrolyzed by heating in dimethoxyethane/2 N sulfuric acid at 50 °C for 8

h. Tricyclic ketone **3b** was isolated as pale tan foam (20 mg), which was recrystallized from ethyl acetate to give the pure product as colorless prisms. Except for  $[\alpha]_D^{21} +96^\circ$  (*c* 0.4,  $\text{CHCl}_3/\text{MeOH}$ , 4:1), isomer **3b** was identical with **3a** and differed from authentic racemic **3** with respect to mp 169–170 °C vs 185–187 °C. As described for ketone **3a**, compound **3b** can be obtained directly from the amide **4c** by using strongly acidic conditions.

The *S* configuration of **3b** was confirmed by the generation of 20(*S*)-camptothecin (**1b**) of  $[\alpha]_D^{21} +39^\circ$  from the condensation of **3b** with *o*-aminobenzaldehyde. Thus, a mixture of **3b** (96 mg, 0.365 mmol) and freshly prepared *o*-aminobenzaldehyde (105 mg, 0.868 mmol) was refluxed in toluene (20 mL), and *p*-toluenesulfonic acid (5 mg) was added. After 2 h, the reaction was worked up and the product **1b** was isolated as for the *R* enantiomer **1a**. Synthetic **1b** was obtained as a tan powder (62 mg, 57%):  $[\alpha]_D^{21} +39^\circ$  (*c* 0.2917,  $\text{CHCl}_3/\text{MeOH}$ , 4:1), with all other properties being identical with natural **1b**.<sup>9</sup>

**Acknowledgment.** Support of this research by U.S. Public Health Service Research Grant R01-CA38996-02 from the National Cancer Institute is gratefully acknowledged. We thank Drs. Matthew Suffness and Gordon Cragg, NCI, DCT, for their general interest and assistance in procuring in vitro and in vivo bioassays.

**Registry No.** 1, 31456-25-4; **1a**, 110351-92-3; **1b**, 7689-03-4; **3a**, 110351-91-2; **3b**, 110351-94-5; **4a**, 110314-08-4; **4b**, 110314-09-5; **4c**, 110314-10-8; **5a**, 110351-90-1; **5b**, 110351-93-4; (*R*)-(+)- $\alpha$ -methylbenzylamine, 3886-69-9; *o*-aminobenzaldehyde, 529-23-7; (*S*)-(-)- $\alpha$ -methylbenzylamine, 2627-86-3.

## Additions and Corrections

1987, Volume 30

**Tai-Shun Lin,\* Ming S. Chen, Colin McLaren, You-Song Gao, Ismail Ghazzouli, and William H. Prusoff:** Synthesis and Antiviral Activity of Various 3'-Azido, 3'-Amino, 2',3'-Unsaturated, and 2',3'-Dideoxy Analogues of Pyrimidine Deoxyribonucleosides against Retroviruses.

Page 441. In Scheme II, structure **19**, the N-3 position of the pyrimidine base is bonded with H; therefore, it should be HN, not ON.

Page 442. Compound **16** listed under the structure (Figure 1) should be compound **15**.

Page 441. Reference 16 "Dube, S. L." should be Dube, S. K.

Page 442. Column 2, line 8 from bottom of the text, "Whereas Wager et al.", should be "Waquer".